```
=> d his
```

(FILE 'HOME' ENTERED AT 14:43:20 ON 01 JUL 2004)

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FILE 'REGISTRY' ENTERED AT 14:46:18 ON 01 JUL 2004
                STRUCTURE UPLOADED
L1
                STRUCTURE UPLOADED
L2
              2 S L2
L3
            906 S L2 SSS FULL
L4
                STRUCTURE UPLOADED
L_5
              8 S L5 SUB=L4 SAMPLE
L6
            227 S L5 SSS FULL SUB=L4
L7
\Gamma8
                STRUCTURE UPLOADED
              O S L8 SUB=L4 SAMPLE
L9
             10 S L8 SSS FULL SUB=L4
L10
     FILE 'CAPLUS' ENTERED AT 14:53:51 ON 01 JUL 2004
             42 S L7
L11
              5 S L10
L12
             46 S L11 OR L12
L13
L14
             38 S L13 NOT AMINO
             33 S L14 NOT ESTER
L15
```

32 S L15 NOT BUTANONE

18 S L16 NOT CYAN?

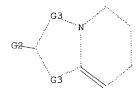
-> d 11

L16

L17

1.1 HAS NO ANSWERS

L1 STR

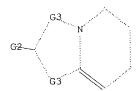


G1

G2 C,N

G3 C,S,N

Structure attributes must be viewed using STN Express query preparation.



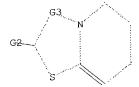
G1

G2 C,N,Cy

G3 C,S,N

Structure attributes must be viewed using STN Express query preparation.

=> d 15 L5 HAS NO ANSWERS L5 STR



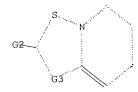
G1

G2 C,N,Cy

G3 C,S,N

Structure attributes must be viewed using STN Express query preparation.

=> d 18L8 HAS NO ANSWERS STR L8



G1

G2 C,N,Cy

G3 C,S,N

Structure attributes must be viewed using STN Express query preparation.

=> d 1-18 bib abs hitstr

```
L17 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
     2004:143150 CAPLUS
     140:175193
DN
     Heterobicyclic metalloproteinase inhibitors, pharmaceutical compositions,
TΙ
     and therapeutic use
     Wilson, Michael William
     Warner-Lambert Company LLC, USA
PΑ
     PCT Int. Appl., 96 pp. CODEN: PIXXD2
```

SO

DT LA	Patent English																	
FAN.CNT 1																		
	PATENT NO.				KIND DATE				APPLICATION NO.						DATE			
PI				Al 20040219														
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
															KΖ,			
			LS,	LT,	LU,	LV,	ΜA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	ΝI,	NO,	NΖ,	OM,
			PH,	PL,	PΤ,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	ΑM,	ΑZ,	BY,	KG,	ΚZ,
			MD,	RU,	ТJ,	TM												
		RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,	ΑT,	ΒE,	BG,
			CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	ΙT,	LU,	MC,
			NL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,
			GW,	ML,	MR,	NE,	SN,	TD,	TG									
	US 2004039012			Α	1 20040226			US 2003-634177					20030805					
PRAI	US 2002-403098P			Р	P 20020813													
OS GI	MA	RPAT	140:	1751	93													

RN

CN

CN

RN

The invention discloses fused bicyclic metalloproteinase inhibitors I [A = C2-6 alkynyl, bond, etc.; X, Y = O, S, etc. (with proviso); dashed lines = optional double bonds; B = substituted pyridinyl; R1 = C1-6 alkyl, C2-6 alkenyl, etc.], as well as pharmaceutical compns. and methods of treating arthritis, inflammation, cancer, and other disorders.

IT 658037-50-4 658037-51-5 658037-52-6

658037-50-4 658037-51-5 658037-52-6 658037-59-3 658037-60-6 658037-61-7 658037-65-2 658037-67-3 658037-68-4 658037-75-3 658037-85-5 658037-86-6 658037-92-4 658037-93-5 658037-94-6 658038-03-0 658038-05-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(heterobicyclic metalloproteinase inhibitors, pharmaceutical compns., and therapeutic use)

658037-50-4 CAPLUS

5H-1,3,4-Thiadiazolo[3,2-a]pyridine-2-carboxamide, 6-[(3,4-difluorophenyl)methyl]-6,7-dihydro-N-[(2-methoxy-4-pyridinyl)methyl]-8-methyl-5,7-dioxo- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F & O & O & O \\ \hline & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

N 658037-51-5 CAPLUS

5H-1,3,4-Thiadiazolo[3,2-a]pyridine-2-carboxamide, 6-[(3,4-difluorophenyl)methyl]-6,7-dihydro-8-methyl-5,7-dioxo-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

658037-52-6 CAPLUS

CN 5H-1,3,4-Thiadiazolo[3,2-a]pyridine-2-carboxamide, 6-[(3,4-difluorophenyl)methyl]-6,7-dihydro-8-methyl-5,7-dioxo-N-(phenylmethyl)-(9CI) (CA INDEX NAME)

CN

RN 658037-59-3 CAPLUS

5H-Thiazolo[3,2-a]pyridine-2-carboxamide, 6-[(3,4-difluorophenyl)methyl]-6,7-dihydro-8-methyl-5,7-dioxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 658037-60-6 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-2-carboxamide, 6-[(3,4-difluorophenyl)methyl]-6,7-dihydro-8-methyl-5,7-dioxo-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 658037-61-7 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-2-carboxamide, 6-[(3,4-difluorophenyl)methyl]-6,7-dihydro-N-[(2-methoxy-4-pyridinyl)methyl]-8-methyl-5,7-dioxo-(9CI)
(CA INDEX NAME)

$$\begin{array}{c|c} F & O & O & O \\ \hline & C & NH - CH_2 & OMe \\ \hline & Me & OMe \\ \end{array}$$

RN 658037-66-2 CAPLUS

CN 7H-1,3,4-Thiadiazolo[3,2-a]pyridine-2-carboxamide, 6-[(3,4-difluorophenyl)methyl]-8-methyl-7-oxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 658037-67-3 CAPLUS

CN 7H-1,3,4-Thiadiazolo[3,2-a]pyridine-2-carboxamide, 6-[(3,4-difluorophenyl)methyl]-8-methyl-7-oxo-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & & & & & & & & & & \\ & & & & & & & & & & & & & & \\ & & & & & & & & & & & & \\ & & & & & & & & & & & \\ & & & & & & & & & & \\ & & & & & & & & & & \\ & & & & & & & & & \\ & & & & & & & & & \\ & & & & & & & & \\ & & & & & & & & \\ & & & & & & & & \\ & & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & \\ & & \\ & \\ & & \\ & \\ & & \\$$

10 May 100

RN 658037-68-4 CAPLUS

CN 7H-1,3,4-Thiadiazolo[3,2-a]pyridine-2-carboxamide, 6-[(3,4-difluorophenyl)methyl]-8-methyl-7-oxo-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F \\ \hline \\ CH_2 \\ \hline \\ N \\ S \\ \end{array}$$

RN 658037-75-3 CAPLUS

CN

7H-Thiazolo[3,2-a]pyridine-2-carboxamide, 6-[(3,4-difluorophenyl)methyl]-N[(2-methoxy-4-pyridinyl)methyl]-8-methyl-7-oxo- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F & O & N \\ \hline C & NH - CH_2 & OMe \\ \hline Me & OMe \\ \hline \end{array}$$

RN 658037-76-4 CAPLUS

CN 7H-Thiazolo[3,2-a]pyridine-2-carboxamide, 6-[(3,4-difluorophenyl)methyl]-8-methyl-7-oxo-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 658037-77-5 CAPLUS

CN 7H-Thiazolo[3,2-a]pyridine-2-carboxamide, 6-[(3,4-difluorophenyl)methyl]-8-methyl-7-oxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 658037-84-4 CAPLUS

CN 5H-1,3,4-Thiadiazolo[3,2-a]pyridine-2-carboxamide, 6-[(4-fluorophenyl)methyl]-8-methyl-5-oxo-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 658037-85-5 CAPLUS

CN 5H-1,3,4-Thiadiazolo[3,2-a]pyridine-2-carboxamide, 6-[(4-fluorophenyl)methyl]-8-methyl-5-oxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

$$CH_2$$
 N
 N
 $C-NH-CH_2-P$
 N
 Me

RN 658037-86-6 CAPLUS

CN Benzoic acid, 4-[[8-methyl-5-oxo-2-[[(phenylmethyl)amino]carbonyl]-5H-1,3,4-thiadiazolo[3,2-a]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)

RN 658037-92-4 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-2-carboxamide, 6-[(4-fluorophenyl)methyl]-8-methyl-5-oxo-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

658037-93-5 CAPLUS RN

5H-Thiazolo[3,2-a]pyridine-2-carboxamide, 6-[(3,4-difluorophenyl)methyl]-8-CN methyl-5-oxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

$$F$$
 CH_2
 $CH_$

658037-94-6 CAPLUS RN

Benzoic acid, 4-[[8-methyl-5-oxo-2-[[(phenylmethyl)amino]carbonyl]-5Hthiazolo[3,2-a]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)

658038-03-0 CAPLUS RN

5H-[1,2,4]Thiadiazolo[2,3-a]pyridine-2-carboxamide, 6-[(3,4-difluorophenyl)methyl]-6,7-dihydro-8-methyl-5,7-dioxo-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

5H-Isothiazolo[2,3-a]pyridine-2-carboxamide, 6-[(4-fluorophenyl)methyl]-6,7-dihydro-4-methyl-5,7-dioxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME) CN

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:342561 CAPLUS

DN 139:214379

TI Oxidative cyclization of N-methyl- and N-benzoylpyridylthioureas. Preparation of new thiazolo[4,5-b]- and -[5,4-b]pyridine derivatives

AU Jouve, Karine; Bergman, Jan

CS Unit for Organic Chemistry, Department of Biosciences, Karolinska Institute and Sodertorn University College, Huddinge, SE-14157, Swed.

SO Journal of Heterocyclic Chemistry (2003), 40(2), 261-268 CODEN: JHTCAD; ISSN: 0022-152X

PB HeteroCorporation

DT Journal

LA English

OS CASREACT 139:214379

AB Cyclization of N-methyl- and N-benzoylpyridylthioureas, prepared from the corresponding aminopyridines, has been realized using various conditions. With bromine in acetic acid or potassium ferricyanide, the cyclization occurred on the nitrogen of the pyridine ring and pyridinium salts or 1,2,4-thiadiazolo[2,3-a]pyridylidene systems were obtained. On the other hand, treatment of the thioureas with sodium methoxide in N-methylpyrrolidinone (NMP) led to formation of thiazolo[4,5-b]- and -[5,4-b]pyridines, which are interesting targets for biol. evaluation.

T 588730-04-5P 588730-05-6P 588730-06-7P

588730-07-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of thiazolopyridine derivs. by oxidative cyclization of N-methyl- and N-benzoylpyridylthioureas)

RN 588730-04-5 CAPLUS

• Br-

RN 588730-05-6 CAPLUS

RN 588730-06-7 CAPLUS

CN [1,2,4]Thiadiazolo[2,3-a]pyridin-4-ium, 2-(benzoylamino)-6-bromo-, inner salt (9CI) (CA INDEX NAME)

RN 588730-07-8 CAPLUS

CN [1,2,4]Thiadiazolo[2,3-a]pyridin-4-ium, 2-(benzoylamino)-6-phenyl-, inner salt (9CI) (CA INDEX NAME)

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:215690 CAPLUS

DN 139:101004

TI A concise and stereoselective synthesis of (+/-)-erythro-methylphenidate

AU Russowsky, Dennis; Amaro da Silveira Neto, Brenno

CS Instituto de Quimica, Universidade Federal do Rio Grande do Sul, Porto Alegre, 91501-970, Brazil

SO Tetrahedron Letters (2003), 44(14), 2923-2926 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 139:101004

GI

AB A concise and stereoselective synthesis of racemic erythro-methylphenidate (I) is described. The coupling reaction between piperidine-2-thione and Me 2-bromo-2-phenylacetate afforded β -enaminocarbonyl compound II in 60% yield by a modified Eschenmoser sulfide contraction reaction. In most cases bicyclic thiazolidinone III was also produced. Diastereoselective reduction of II in the presence of borohydrides furnished (+/-)-erythromethylphenidate (I) in good yields with dr >95%.

IT 560132-19-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of phenylpyridothiazolidinone via substitution of bromo(phenyl)acetate with piperidinethione followed by heterocyclization)

RN 560132-19-6 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridin-3(2H)-one, 6,7-dihydro-2-phenyl- (9CI) (CA INDEX NAME)

RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:139712 CAPLUS

DN 134:259378

TI Application of simulated annealing approach for structure solution of molecular crystals from x-ray laboratory powder data

AU Zhukov, S. G.; Chernyshev, V. V.; Babaev, E. V.; Sonneveld, E. J.; Schenk, H.

CS Department of Chemistry, Moscow State University, Moscow, 119899, Russia

SO Zeitschrift fuer Kristallographie (2001), 216(1), 5-9 CODEN: ZEKRDZ; ISSN: 0044-2968

PB R. Oldenbourg Verlag

DT Journal

LA English

The simulated annealing approach was successfully applied to solve three unknown mol. structures from x-ray laboratory powder data using a priory known structural fragments. The structures of 2-chloro-1-(p-nitrophenacyl)pyridinium bromide (orthorhombic, space group Fdd2), 2-(p-nitrophenyl)thiazolo[3,2-a]pyridinium perchlorate (orthorhombic, space group Pbca), and 3-(p-nitrobenzoyl)-2-oxooxazolo[3,2-a]pyridine (monoclinic, space group P21/n) were determined Some possible developments of the method are discussed.

213765-84-5, 2-(p-Nitrophenyl)thiazolo[3,2-a]pyridinium

perchlorate

RL: PRP (Properties)

(crystal structure from x-ray powder data by simulated annealing approach)

RN 213765-84-5 CAPLUS

CN Thiazolo[3,2-a]pyridinium, 2-(4-nitrophenyl)-, perchlorate (9CI) (CA INDEX NAME)

CM 1

CRN 213765-83-4 CMF C13 H9 N2 O2 S

CM 2

CRN 14797-73-0 CMF Cl O4

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:530717 CAPLUS

DN 133:266804

- $\ensuremath{\mathsf{TI}}$ New routes to polyfunctionally substituted benzene, pyridazines and thiophene derivatives
- AU Erian, Ayman Wahba; Abdel, Abu Zeid; Hassanien, Baset; Mohamed, Nadia
- CS Department of Chemistry, Faculty of Science, Cairo University, Giza, Egypt
- SO Phosphorus, Sulfur and Silicon and the Related Elements (1999), 155, 147-155
 - CODEN: PSSLEC; ISSN: 1042-6507
- PB Gordon & Breach Science Publishers
- DT Journal
- LA English
- OS CASREACT 133:266804
- GΙ

- AB Di-Et 2-phenyl-3-thiocyanopropene-1,1-dicarboxylate (I) as a key precursor in heterocyclic synthesis. The applicability and synthetic potency of I are studied to afford substituted benzene, pyridazines and thiophene derivs.
- IT 298184-08-4P
 - RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of substituted benzene, pyridazines and thiophene derivs. from phenylthiocyanopropenedicarboxylate via cyclocondensation reactions)
- RN 298184-08-4 CAPLUS
- CN 5H-Thiazolo[3,2-a]pyridine-6-carboxylic acid, 2-cyano-5-oxo-3,7-diphenyl-8-thiocyanato-, ethyl ester (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1999:719574 CAPLUS
- DN 132:78498
- TI Halocyclization of 2-allylthiopyridine
- AU Kim, D. G.
- CS Chelyabinsk State University, Chelyabinsk, 454021, Russia
- SO Chemistry of Heterocyclic Compounds (New York) (Translation of Khimiya Geterotsiklicheskikh Soedinenii) (1999), 35(3), 290-292 CODEN: CHCCAL; ISSN: 0009-3122
- PB Consultants Bureau
- DT Journal
- LA English
- OS CASREACT 132:78498
- AB 2-Allylthiopyridine reacts with iodine to form 3-iodomethyl-2,3-dihydrothiazolo[3,2-a]pyridinium triiodide, but in reaction with bromine a mixture of 3- and 2-bromomethyl-2,3-dihydrothiazolo[3,2-a]pyridinium bromides is obtained.
- IT 253670-38-1P
 - RL: SPN (Synthetic preparation); PREP (Preparation) (halocyclization of (allylthio)pyridine)
- RN 253670-38-1 CAPLUS

● Br-

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1999:673580 CAPLUS

DN 132:35643

TI Novel route to b-fused thiazoles starting from a 2-chloro-1-phenacylpyridinium salt and KSCN. Crystal structures of thiazolo- and oxazolo[3,2-a]pyridinium thiocyanates

AU Babaev, Eugene V.; Bush, Alexander A.; Orlova, Irina A.; Rybakov, Viktor B.; Zhukov, Sergey G.

CS Chemistry Department, Moscow State University, Moscow, 119899, Russia

SO Tetrahedron Letters (1999), 40(42), 7553-7556 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

AB Reaction of 2-chloro-l-phenacylpyridinium bromide with KSCN led to 2-aminothiazolo[3,2-a]pyridinium salts, thus opening a novel route to fused thiazoles. In reaction with KSCN, oxazolo[3,2-a]pyridinium perchlorate was converted to the thiocyanate.

IT 252663-89-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminothiazolo[3,2-a]pyridinium salts and oxazolo[3,2-a]pyridinium thiocyanate)

RN 252663-89-1 CAPLUS

CM :

CRN 252663-88-0 CMF C14 H10 N3 O3 S

CM 2

CRN 302-04-5 CMF C N S

-s-c≡ N

IT 252663-90-4P 252664-08-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of aminothiazolo[3,2-a]pyridinium salts and oxazolo[3,2-a]pyridinium thiocyanate)

RN 252663-90-4 CAPLUS

CN Thiazolo[3,2-a]pyridinium, 2-amino-3-(4-nitrobenzoyl)-, perchlorate (9CI) (CA INDEX NAME)

CM 1

CRN 252663-88-0 CMF C14 H10 N3 O3 S

СМ

CRN 14797-73-0 CMF Cl O4

252664-08-7 CAPLUS RN CN

Thiazolo[3,2-a]pyridinium, 2-amino-3-(4-nitrobenzoyl)-, thiocyanate, hydrate (2:1) (9CI) (CA INDEX NAME)

CM

CRN 7732-18-5

CMF H2 O

H20

СМ

CRN 252663-89-1

CMF C14 H10 N3 O3 S . C N S

CM3

CRN 252663-88-0 CMF C14 H10 N3 O3 S

 CM

CRN 302-04-5 CMF C N S

-S-C= N

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 8 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN L17
- 1999:661861 CAPLUS ΑN
- DΝ 132:35655
- Intramolecular oxidative cyclizations in heteroarylthioureas: a versatile TIpathway to bridgehead heterocyclic systems
- Castro, Ana; Martinez, Ana ΑU
- Instituto de Quimica Medica (CSIC), Madrid, 28006, Spain CS
- Journal of Heterocyclic Chemistry (1999), 36(4), 991-995 SO CODEN: JHTCAD; ISSN: 0022-152X
- PB HeteroCorporation
- DTJournal
- English LA
- Intramol. oxidns. of N-alkyl-N'-heteroarylthioureas represent a facile and AΒ versatile synthetic pathway to fused heterocyclic systems including bridgehead ones. These kinds of heterocycles are the main feature in common biol. active compds.
- 252270-12-5P TT
 - RL: SPN (Synthetic preparation); PREP (Preparation) (intramol. oxidative cyclization in heteroarylthioureas as versatile pathway to bridgehead heterocyclic systems)
- RN 252270-12-5 CAPLUS
- [1,2,4]Thiadiazolo[2,3-a]pyridin-4-ium, 2-(dimethylamino)-, chloride (9CI) CN (CA INDEX NAME)

● c1 =

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD RE, CNT 15 ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 9 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN T.17
- 1999:594917 CAPLUS ΑN
- DN 131:214299
- Preparation of arylalkylamine or heteroarylalkylamine derivatives as local TΙ anesthetic agents
- Okada, Shigeya; Ishihama, Yutaka; Ichioka, Takahiro; Matsui, Takeaki; ΤN Yamazaki, Hirofumi; Hijikuro, Kohshi; Naruse, Tomohiro; Hoshino, Takashi
- Maruho Kabushikikaisha, Japan
- PCT Int. Appl., 109 pp. SO
- CODEN: PIXXD2
- DΤ Patent
- Japanese LA
- FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE ____ WO 1999-JP1136 19990308 WO 9945914 19990916

A1 W: CN, JP, KR, US

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT. SE

PRAI JP 1998-63550 19980313

MARPAT 131:214299

Disclosed is a local anesthetic agent comprising, as an active constituent, an alkyl amine derivative having general formula ACR5R6 CR1R2(CH2)nNR3R4 (wherein A is a substituted or unsubstituted aryl or heteroaryl group; R1 and R2 may be the same or different and are a hydrogen atom, an optionally substituted lower alkyl group, a lower alkoxy, a lower alkylthio, an aryl, a lower alkenyl, or an aralkyl; R3 and R4 may be the same or different, and are a hydrogen atom, a lower alkyl group, a lower alkenyl, a cycloalkyl, or form, together with a nitrogen atom to which they are bonded, a 5 to 7-membered, substituted or unsubstituted heterocyclic ring; with respect to R5 and R6, one is a hydrogen atom and the other is a lower alkoxyl group, or they together form an oxo group or a lower alkylenedioxy group optionally substituted

ΙT

RN

with a lower alkyl group; and n is 1 or 2). These compds. are useful as surface, infiltration, or conduction (nerve blocking) anesthesia. Thus, a mixture of 1-(4-ethylphenyl)-2-methylpropan-1-one, imidazole, and MeOH was refluxed for 4 h to give 1-(4-ethylphenyl)-3-(1H-imidazol-1-yl)-2methylpropan-1-one (I). In a surface anesthesia model testing reflex of rabbit cornea in vivo, I and 1-[5-(4-chlorophenyl)furan-2-yl]-2-methyl-3-(pyrrolidin-1-yl)propane-1-one maleate in vivo showed ED50 of 0.343 and 0.031 (concentration unit unspecified), resp., vs. 1.411 for lidocaine hydrochloride.

243450-22-8P 243450-24-0P 243450-84-2P

243450-87-5P 243450-90-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylalkylamine or heteroarylalkylamine derivs. as local anesthetic agents)

243450-22-8 CAPLUS

1-Propanone, 2-methyl-3-(1-pyrrolidinyl)-1-(5H-thiazolo[3,2-a]pyridin-2-CN yl)-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

243450-24-0 CAPLUS RN

1-Propanone, 2-methyl-3-(1-pyrrolidinyl)-1-(5H-thiazolo[3,2-a]pyridin-2-CN yl) - (9CI) (CA INDEX NAME)

243450-84-2 CAPLUS RN

1-Propanone, 3-(1H-imidazol-1-yl)-2-methyl-1-(5H-thiazolo[3,2-a]pyridin-2-CN yl)- (9CI) (CA INDEX NAME)

RN 243450-87-5 CAPLUS

1-Butanone, 2-(1H-imidazol-1-ylmethyl)-1-(5H-thiazolo[3,2-a]pyridin-2-yl)-CN (9CI) (CA INDEX NAME)

RN 243450-90-0 CAPLUS

 $1- \\ Butanone, 2-(1\\ H-imidazol-1-y\\ lmethyl)-3-methyl-1-(5\\ H-thiazolo[3,2-methyl-1-(5)] \\ \\ 2-(1\\ H-imidazol-1-y\\ lmethyl)-3-methyl-1-(5\\ H-thiazolo[3,2-methyl-1-(5)] \\ \\ 3-(1\\ H-imidazol-1-y\\ lmethyl)-3-methyl-1-(5\\ H-thiazolo[3,2-methyl-1-(5)] \\ \\ 3-(1\\ H-imidazol-1-y\\ lmethyl-1-(5)] \\ \\ 3-(1\\ H-imidazol-1-y\\ lmethyl-1-y\\ lmeth$ CN a]pyridin-2-yl)- (9CI) (CA INDEX NAME)

243451-95-8 243452-33-7 243452-37-1 IT

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of arylalkylamine or heteroarylalkylamine derivs. as local anesthetic agents)

243451-95-8 CAPLUS RN

1-Propanone, 1-(5H-thiazolo[3,2-a]pyridin-2-yl)- (9CI) (CA INDEX NAME) CN

243452-33-7 CAPLUS RN

1-Butanone, 1-(5H-thiazolo[3,2-a)pyridin-2-yl)- (9CI) (CA INDEX NAME) CN

243452-37-1 CAPLUS RN

1-Butanone, 3-methyl-1-(5H-thiazolo[3,2-a]pyridin-2-yl)- (9CI) (CA INDEX CN NAME)

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 19 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 10 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN 1.17

1997:256044 CAPLUS ΑN

126:343516 DN

New and convenient syntheses of anhydro-2-alkyl-3-iminothiazolo[3,2-TΙ a]pyridinium hydroxide derivatives

ΑU Barton, Derek H. R.; Liu, Wansheng

Department of Chemistry, Texas AandM University, College Station, TX, CS 77843, USA

Tetrahedron Letters (1997), 38(14), 2435-2438 SO

CODEN: TELEAY; ISSN: 0040-4039

Elsevier

DT Journal

LA English

OS CASREACT 126:343516

The 2-alkyl derivs. of anhydro-3-trifluoroacetyliminothiazolo[3,2a]pyridinium hydroxide were synthesized in quant. yield by treatment of either 2-(pyridin-2-thiyl)carboxamides or the corresponding nitriles with trifluoroacetic anhydride in dichloromethane.

189809-84-5P 189809-85-6P 189809-86-7P IT189809-87-8P 189809-88-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of anhydroiminothiazolo[3,2-a]pyridinium hydroxide derivs.)

RN 189809-84-5 CAPLUS

CN Thiazolo[3,2-a]pyridinium, 2-(cyclohexylmethyl)-3-[(trifluoroacetyl)amino], inner salt (9CI) (CA INDEX NAME)

RN 189809-85-6 CAPLUS

CN Thiazolo[3,2-a]pyridinium, 2-(2-methylpropyl)-3-[(trifluoroacetyl)amino)-, inner salt (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & Bu-i \\ & & & & 0 \\ & & & & \parallel \\ & & N-C-CF3 \end{array}$$

RN 189809-86-7 CAPLUS

CN Thiazolo[3,2-a]pyridinium, 2-(3-phenylpropyl)-3-[(trifluoroacetyl)amino]-,
inner salt (9CI) (CA INDEX NAME)

RN 189809-87-8 CAPLUS

CN Thiazolo[3,2-a]pyridinium, 2-(2,2-dimethylpropyl)-3-[(trifluoroacetyl)amino]-, inner salt (9CI) (CA INDEX NAME)

RN 189809-88-9 CAPLUS

CN Thiazolo[3,2-a]pyridinium, 2-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-3-[(trifluoroacetyl)amino]-, inner salt (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} S & CH_2 \\ \downarrow N & 0 \\ N - C - CF_3 \end{array}$$

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN AN $1997\!:\!169800$ CAPLUS

- DN 126:264050
- Base promoted transformation on thiadiazolopyridinium chlorides TI
- ΑU
- CS
- Martinez, Ana; Castro, Ana; Fayet, J. P. Instituto de Quimica Medica, CSIC, Madrid, 28006, Spain Journal of Heterocyclic Chemistry (1997), 34(1), 337-340 so CODEN: JHTCAD; ISSN: 0022-152X
- HeteroCorporation PB
- DTJournal
- English LA
- 1,2,4-Thiadiazolo[2,3-a]pyridinium chlorides undergo a very facile base AΒ promoted transformation to give bispyridylimino-1,2,4-thiadiazolidines. The unequivocal structural assignment of these last compds. was achieved by spectroscopic 1H, 13C and 15N two dimensional methods.
- 188830-69-5 188830-70-8 188830-71-9 IT
 - RL: RCT (Reactant); RACT (Reactant or reagent) (conversion of thiadiazolopyridinium chlorides to bis(pyridylimino)thiadiazolidines)
- 188830-69-5 CAPLUS RN
- [1,2,4]Thiadiazolo[2,3-a]pyridin-4-ium, 2-(methylamino)-, chloride (9CI) CN (CA INDEX NAME)

- cl-
- 188830-70-8 CAPLUS RN
- [1,2,4]Thiadiazolo[2,3-a]pyridin-4-ium, 2-(ethylamino)-, chloride (9CI) CN (CA INDEX NAME)

- c1-
- RN 188830-71-9 CAPLUS
- [1,2,4]Thiadiazolo[2,3-a]pyridin-4-ium, 2-[(phenylmethyl)amino]-, chloride CN (9CI) (CA INDEX NAME)

- Cl-
- THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD RE. CNT 8 ALL CITATIONS AVAILABLE IN THE RE FORMAT
- ANSWER 12 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN L17
- 1997:116871 CAPLUS ΑN
- DN 126:171500
- Ketene gem-dithiols; a convenient one-step procedure from aliphatic active TΙ methylenes: reactions and synthesis of polyfunctionally substituted thia-

and azaheteroaromatics

ΆU Zayed, Salem E.

Dep. Chem., South Valley Univ., Kena, 83511, Egypt CS

Phosphorus, Sulfur and Silicon and the Related Elements (1996), 116, 29-37 SO CODEN: PSSLEC; ISSN: 1042-6507

PB Gordon & Breach

Journal DT

English LA

It has been reported in the current literature that the isolation of AΒ certain ketene gem dithiols has failed due to dimerization. Generation of ketene gem-dithiols via trapping with other reactants led to formation of pyridine, pyrrole, pyridothiadiazole and pyrazolone derivs.

TΤ 187280-41-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

187280-41-7 CAPLUS RN

5H-1,3,4-Thiadiazolo[3,2-a]pyridine-8-carboxylic acid,

2-(4-chlorophenyl)-6-cyano-7-methyl-5-oxo- (9CI) (CA INDEX NAME)

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 9 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 13 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN L17

1997:81468 CAPLUS ΑN

DN 126:199519

Iminium carbonic acid derivative salts. X. Synthesis of N,S-containing TIheterobicycles from N-protected 2-methylthio-1,3-thiazinium and 2-methylthiothiazolium salts. Part 2. Reaction of N-protected 2-methylthio-1,3-thiazinium and 2-methylthiothiazolium salts with vinylogous CH-acidic compounds

Αľ Hanefeld, Wolfgang; Naeeni, Mahmoud; Schlitzer, Martin

CS

Inst. Pharmazeutische Chem., Marburg/Lahn, D-35037, Germany Journal of Heterocyclic Chemistry (1996), 33(6), 1791-1796 SO CODEN: JHTCAD; ISSN: 0022-152X

HeteroCorporation ₽B

Journal DT

LA English

N-Boc-protected 2-methylthio-1,3-thiazinium and 2-methylthiothiazolium salts obtained from the corresponding 1,3-thiazine-2-thiones and thiazolidine-2-thiones by the action of Me iodide or trimethyloxonium tetrafluoroborate were reacted with vinylogous CH-acidic compds. forming ketene N,S-acetals. The protection group was removed with trifluoroacetic acid whereupon the desired cyclization to pyrido[2,1-b]-1,3-thiazines and thiazolo[3,2-b]pyridines took place.

187829-96-5P 187829-97-6P 187829-99-8P TΤ

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 187829-96-5 CAPLUS

5H-Thiazolo[3,2-a]pyridine-6-carbonitrile, 7-(4-bromophenyl)-5-imino-2-CN methyl- (9CI) (CA INDEX NAME)

RN 187829-97-6 CAPLUS

5H-Thiazolo[3,2-a]pyridine-6-carbonitrile, 5-imino-2-methyl-7-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 187829-99-8 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-6-carbonitrile, 5-[(1,1-dimethylethyl)imino]-2-methyl-7-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1996:513348 CAPLUS

DN 125:195354

TI Synthesis and reactions of 2-mercapto-6-thioxothiopyran-3-carboxylate derivatives

AU Rehwald, M.; Schaefer, H.; Gewald, K.; Gruner, M.

CS Institut Organische Chem., Technische Univ. Dresden, Dresden, D-01062, Germany

SO Journal fuer Praktische Chemie/Chemiker-Zeitung (1996), 338(6), 516-522 CODEN: JPCCEM; ISSN: 0941-1216

PB Barth

DT Journal

LA German

GΙ

6-Aminothiopyran-2-thiones react with H2S in the presence of pyridine and Et3N to yield 6-thioxothiopyran-2-thiolates. Methylation of the latter gives the methylthio compds. I and II [X = S with R = Me, R1 = Et, R2 = CN; RR1 = (CH2)4, R2 = CN; R = H, R1 = Ph, R2 = CO2Et]. Further methylation of I or II (X = S, R = Me, R1 = Et, R2 = CN) yields the corresponding thiapyrylium salt. The reaction of the iminothiopyran I (X = NH, R = Me, R1 = Et, R2 = CN) with CS2 represents another route to the thiopyranthione I (X = S, R = Me, R1 = Et, R2 = CN). The thiopyranthione II (X = S, R = Me, R1 = Et, R2 = CN) undergoes substitution of the MeS group with amines or reacts with PhNHNH2 to the corresponding phenylhydrazone.

180746-40-1P 180746-42-3P 180746-44-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and reactions of mercaptothioxothiopyrancarboxylates)

RN 180746-40-1 CAPLUS

CN 5H-1,3,4-Thiadiazolo[3,2-a]pyridine-8-carboxylic acid, 2,3-dihydro-2,2-dimethyl-7-phenyl-5-thioxo-, ethyl ester (9CI) (CA INDEX

NAME)

RN 180746-42-3 CAPLUS

CN 1,3,4-Thiadiazolo[3,2-a]pyridin-4-ium, 8-(ethoxycarbonyl)-2,3-dihydro-2,2-dimethyl-5-(methylthio)-7-phenyl-, iodide (9CI) (CA INDEX NAME)

• I-

RN 180746-44-5 CAPLUS

CN 5H-1,3,4-Thiadiazolo[3,2-a]pyridine-8-carboxylic acid, 2-methyl-7-phenyl-5-thioxo-, ethyl ester (9CI) (CA INDEX NAME)

L17 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:231503 CAPLUS

DN 123:143808

TI Regioselectivity in the Westphal Condensation

AU Diaz, Adolfo; Matia, Maria P.; Garcia-Navio, Jose L.; Vaquero, Juan J.; Alvarez-Builla, Julio

CS Departamento de Quimica Organica, Universidad de Alcala, Alcala de Henares, 28871, Spain

SO Journal of Organic Chemistry (1994), 59(26), 8294-6

CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

GΙ

The Westphal condensation using unsym. 1,2-diketones has been performed with different α -methylcycloimmonium salts. When 1-aryl-1,2-propanediones were used, the kinetically-controlled regioisomers, e.g. the quinolizinium I, were the major products. However, mixts. of varying composition were obtained when $\pi ext{-excessive}$ aryl groups were present in the 1,2-dicarbonyl fragment.

166886-40-4P 166886-41-5P 166886-44-8P TT

RL: SPN (Synthetic preparation); PREP (Preparation) (regioselectivity in the Westphal condensation)

166886-40-4 CAPLUS RN

Thiazolo[3,2-a]pyridinium, 2,3,6-trimethyl-7-phenyl-, bromide (9CI) (CA CN INDEX NAME)

● Br-

CN

166886-41-5 CAPLUS RN

 $\label{eq:thiazolo} Thiazolo \ \ [3,2-a] \ pyridinium, \ \ 2,3,6-trimethyl-7-(4-methylphenyl)-, \ bromide$ (9CI) (CA INDEX NAME)

• Br-

166886-44-8 CAPLUS RN CN

Thiazolo[3,2-a]pyridinium, 2,3,6-trimethyl-7-(4-pyridinyl)-, bromide (9CI) (CA INDEX NAME)

• Br-

- ANSWER 16 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN L17
- 1993:191728 CAPLUS ΑN
- DN 118:191728
- Preparation of condensed thiazole derivatives as drugs for liver diseases ΤI
- Suzuki, Norio; Nakayama, Atsushi; Saijo, Toru; Hasegawa, Masashi; IN

Yokohama, Shuichi
PA Daiichi Seiyaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 44 pp.
CODEN: JKXXAF
DT Patent

LA Japanese FAN.CNT 1

APPLICATION NO. DATE PATENT NO. KIND DATE 19920930 19910228 JP 04273883 A2 JP 1991-34567 PΙ 20021209 JP 3353903 B2 PRAI JP 1991-34567 19910228 OS MARPAT 118:191728 GΙ

The title compds. [I; A = H, Cl-10 alkyl, (substituted) C3-7 cycloalkyl, aryl, heteroaryl, O, S, etc.; Rl - R7 = H, substituent; Z = CH2, CH2CH2, O, S, (substituted) imino; dotted line = unsatn. or saturation] are prepared A solution of 2.0 g thione II and 2.1 g ClCH2CH0 in HOAc was heated at 50° with stirring to give 0.9 g thiazine derivative III. Also prepared were 119 addnl. I, one of which inhibited D-galactosamine-induced liver disorders with GPT value of 301 \pm 48 μ /L at 300 mg/kg orally in rats.

1T 146947-27-5P 146947-33-3P 146947-43-5P 146947-45-7P 146947-48-0P 146947-49-1P 146947-56-0P 146947-58-2P 146947-59-3P 146947-71-9P 146947-72-0P 146947-74-2P 146947-83-3P 146947-84-4P 146947-92-4P 146948-00-7P 146948-01-8P 146948-16-5P 146948-17-6P 146948-19-8P 146948-20-1P 146948-22-3P 146948-23-4P 146948-33-6P 146948-35-8P 146948-38-1P 146948-40-5P RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as drug for liver disease)
146947-27-5 CAPLUS
5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 6,7-dihydro-2-methyl-, ethyl

RN

CN

RN 146947-33-3 CAPLUS

ester (9CI) (CA INDEX NAME)

CN 5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 6,7-dihydro-2,3-dimethyl-,
ethyl ester (9CI) (CA INDEX NAME)

146947-43-5 CAPLUS RN

5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 6,7-dihydro-2,3-diphenyl-, CN ethyl ester (9CI) (CA INDEX NAME)

RN 146947-45-7 CAPLUS

5H-Thiazolo[3,2-a]pyridine-2,8-dicarboxylic acid, 6,7-dihydro-, diethyl CN ester (9CI) (CA INDEX NAME)

146947-48-0 CAPLUS RN

5H-Thiazolo[3,2-a]pyridine-2,8-dicarboxylic acid, 6,7-dihydro-3-methyl-, diethyl ester (9CI) (CA INDEX NAME)

146947-49-1 CAPLUS RN

5H-Thiazolo[3,2-a]pyridine-2-carboxylic acid, 8-cyano-6,7-dihydro-3-methyl-CN , ethyl ester (9CI) (CA INDEX NAME)

146947-56-0 CAPLUS

5H-Thiazolo[3,2-a]pyridine-2,3,8-tricarboxylic acid, 6,7-dihydro-, triethyl ester (9CI) (CA INDEX NAME)

RN 146947-58-2 CAPLUS
CN 5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 2-acetyl-6,7-dihydro-3-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 146947-59-3 CAPLUS
CN 5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 2-acetyl-6,7-dihydro-3,6,6-trimethyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 1.46947-71-9 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 6,7-dihydro-3-methyl-2[(octylamino)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 146947-72-0 CAPLUS
CN 5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 2[(cyclohexylamino)carbonyl]-6,7-dihydro-3-methyl-, 1-methylethyl ester
(9CI) (CA INDEX NAME)

RN 146947-74-2 CAPLUS

5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 6,7-dihydro-2-phenyl-,
1-methylethyl ester (9CI) (CA INDEX NAME)

RN 146947-83-3 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 2,3,6,7-tetrahydro-3-oxo-2-(phenylmethyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 146947-84-4 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 2,3,6,7-tetrahydro-3-oxo-2-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 146947-92-4 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 2-[3,5-bis(1,1dimethylethyl)-4-hydroxyphenyl]-2,3,6,7-tetrahydro-3-oxo-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 146948-00-7 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-3,8-dicarboxylic acid, 2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-6,7-dihydro-, diethyl ester (9CI) (CA INDEX NAME)

RN 146948-01-8 CAPLUS

5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 6,7-dihydro-2-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 146948-16-5 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 2,3,6,7-tetrahydro-2-(phenylmethyl)-3-thioxo-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 146948-17-6 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 2-[(2-chlorophenyl)methyl]-2,3,6,7-tetrahydro-3-thioxo-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 146948-19-8 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 6,7-dihydro-3-(methylthio)-2-(phenylmethyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 146948-20-1 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 2-[(2-chlorophenyl)methyl]-6,7-dihydro-3-(methylthio)-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 146948-22-3 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 6,7-dihydro-2-phenyl-3-[(phenylmethyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

RN 146948-23-4 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 6,7-dihydro-2-phenyl-3-[(2-phenylethyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

RN 146948-33-6 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 2,3,6,7-tetrahydro-3-(2-methoxy-2-oxoethylidene)-2,2-dimethyl-, 1-methylethyl ester (9CI) (CA INDEX NAME)

146948-35-8 CAPLUS

5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 2,3,6,7-tetrahydro-2,2-dimethyl-3-[2-oxo-2-(2,2,2-trichloroethoxy)ethylidene]-, 1-methylethyl CN ester (9CI) (CA INDEX NAME)

146948-38-1 CAPLUS RN

5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 3-(carboxymethylene)-2,3,6,7-CN tetrahydro-2,2-dimethyl-, 8-(1-methylethyl) ester (9CI) (CA INDEX NAME)

RN 146948-40-5 CAPLUS

5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 2,3,6,7-tetrahydro-2,2-CN dimethyl-3-[2-(methylamino)-2-oxoethylidene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

ANSWER 17 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN L17

1993:101861 CAPLUS ΑN

DN

TΙ Reductive and catalytic rearrangements of 2-vinyl-1,3-thiazetidines

Capps, Nigel K.; Davies, Gareth M.; Loakes, David; Young, Douglas W. Sch. Chem. Mol. Sci., Univ. Sussex, Falmer/Brighton, BN1 9QJ, UK ΑU

C\$

Tetrahedron (1992), 48(46), 10149-60 CODEN: TETRAB; ISSN: 0040-4020

DT Journal LA English

OS CASREACT 118:101861

GI

AB 2-Vinyl-1,3-thiazetidines I (R = R1 = H, Et; R = PhCH2, R1 = Me, Et) undergo a novel rearrangement to give thiazolidines II in good yield on hydrogenation using heterogeneous catalysts. When homogeneous catalysts are used, rearrangement takes a different course and thiazines such as III are formed. Borohydride reduction yields thiolactols such as IV.

IT 145904-13-8P 145904-15-0P 145904-16-1P 145904-19-4P 145904-27-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 145904-13-8 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-3,8-dicarboxylic acid, 2,3,6,7-tetrahydro-2,2-dimethyl-5-oxo-, diethyl ester (9CI) (CA INDEX NAME)

RN 145904-15-0 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-3,8-dicarboxylic acid, 2,3-dihydro-2-methyl-2-(methyl-d2)-5-oxo-, diethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 145904-16-1 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-3,8-dicarboxylic acid, 2,3-dihydro-2-methyl-2-(methyl-d2)-5-oxo-, diethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 145904-19-4 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-3,8-dicarboxylic acid, 2,3-dihydro-2,2-dimethyl-5-oxo-, 3-methyl 8-(phenylmethyl) ester (9CI) (CA INDEX NAME)

RN 145904-24-1 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-3,8-dicarboxylic acid, 2,3-dihydro-2,2-dimethyl-5-oxo-, 3-ethyl 8-(phenylmethyl) ester (9CI) (CA INDEX NAME)

RN 145904-27-4 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-3,8-dicarboxylic acid, 2,3-dihydro-2,2-dimethyl-5-oxo- (9CI) (CA INDEX NAME)

- L17 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1987:515522 CAPLUS
- DN 107:115522
- TI 2-Methylthiazolium salts as 1,4-dinucleophiles. Thiazolo[3,2-a]pyridinium salts from Westphal condensation
- AU Galera, C.; Vaquero, J. J.; Garcia Navio, J. L.; Alvarez-Builla, J.
- CS Dep. Quim. Org., Univ. Alcala de Henares, Madrid, Spain

SO Journal of Heterocyclic Chemistry (1986), 23(6), 1889-92
CODEN: JHTCAD; ISSN: 0022-152X

DT Journal
LA English
OS CASREACT 107:115522
GI

AB Condensation of 2-methylthiazolium salts I (R = H, Me; R1 = Me, Ph; RR1 = CH:CHCH:CH; R2 = Bz, CO2Et) with R3COCOR3 (R3 = e.g. Me, Ph) in the presence of base, yielded thiazolo[3,2-a]pyridinium derivs. II (R4 = H, R2). Results with different substrates are discussed.

RN 110209-18-2 CAPLUS

CN Thiazolo[3,2-a]pyridinium, 2,3,6,7-tetramethyl-, bromide (9CI) (CA INDEX NAME)

● Br-

RN 110209-19-3 CAPLUS
CN Thiazolo[3,2-a]pyridinium, 2,3-dimethyl-6,7-diphenyl-, bromide (9CI) (CA INDEX NAME)

• Br-

RN 110209-20-6 CAPLUS

CN Thiazolo[3,2-a]pyridinium, 2,3-dimethyl-6,7-bis(3-nitrophenyl)-, bromide
 (9CI) (CA INDEX NAME)

• Br-

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=> d 1-2 bib abs hitstr
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ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
AN
     2003:319723 CAPLUS
DN
     138:338175
     Preparation of alkyne matrix metalloproteinase inhibitors for treatment of
TI
     cancer and arthritis
     Bunker, Amy Mae; Harter, William Glen; Hicks, James Lester; O'Brien,
     Patrick Michael; Pham, Ly Thi; Picard, Joseph Armand; Roark, William
     Howard
     Warner-Lambert Company LLC, USA
PA
     PCT Int. Appl., 146 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
                                              APPLICATION NO. DATE
     PATENT NO.
                       KIND DATE
                                              WO 2002-IB3057
                                                                20020802
     WO 2003032999
                        A1
                              20030424
PΤ
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
              PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
              UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
              TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
              PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
              NE, SN, TD, TG
                                              US 2002-264764
                                                                20021004
     US 2003144274
                        A1
                              20030731
PRAI US 2001-329216P
                              20011012
                        Р
     WO 2002-IB3057
                        W
                              20020802
     MARPAT 138:338175
os
GI
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AB Title compds. I [wherein G1 and G2 = independently (un) substituted (CH2)m-(hetero)aryl; m = 0-6; B = (un)substituted Ph, pyrimidinyl,pyridyl, quinolinyl, 2,3-benzothiazinyl, benzo[1,2,4]thiadiazinyl, thiazolo[3,2-a]pyridinyl, thieno[3,2-c]pyridinyl, etc.; or pharmaceutically acceptable salts or tautomers thereof] were prepared as selective inhibitors of matrix metalloproteinase 13 (MMP-13). For example, formylation of 4-bromoaniline gave N-(4-bromophenyl)formamide (99.2%), which was reduced to the methylamine (95%) using BH3 SMe2 in THF. Reaction of (4-bromophenyl) methylamine with ClSO2NCO and MeNO2, followed addition of AlCl3 provided 7-bromo-4-methyl-1,1-dioxo-1,4-dihydro-2H-benzo[1,2,4]thiadiazin-3-one (77.4%). N-benzylation (93.5%) and substitution with PhCH2C.tplbond.CH using CuI, Pd(PhCN)2Cl2, P(Bu-t)3, and NH(Pr-i)2 gave II. The latter selectively inhibited the catalytic activity of MMP-13 (CD) over MMP-1, MMP-2, MMP-3, MMP-7, MMP-9, and MMP-13 (CD) with IC50 values of 2.2 μ M, >100 μ M, >30 μ M, >100 μ M, and >100 μ M, resp. Thus, I are useful for treating diseases mediated by MMP-13, including cancer and arthritis (no data). Specific formulations of I are also disclosed. 515172-54-0P, 2-[3-(Phenyl)prop-1-ynyl]-6-benzyl-4H-thiazolo[3,2-a]pyridin-5-one 515172-55-1P, 2-[3-(4-Methoxyphenyl)prop-1-ynyl]-6-(4-carboxybenzyl)-4H-thiazolo[3,2-a]pyridin-5-one 515172-56-2P , 6-(4-Methanesulfonylbenzyl)-2-[3-(4-methoxyphenyl)prop-1-ynyl]-4Hthiazolo[3,2-a]pyridin-5-one 515172-57-3P, 2-[3-(3-Methoxyphenyl)prop-1-ynyl]-6-(4-carboxybenzyl)-4H-thiazolo[3,2-a]pyridin-5one 515172-58-4P, 6-(4-Methanesulfonylbenzyl)-2-[3-(3methoxyphenyl)prop-1-ynyl]-4H-thiazolo[3,2-a]pyridin-5-one 515172-59-5P, 2-[3-(4-Cyanophenyl)prop-1-ynyl]-6-(4-carboxybenzyl)-4H-thiazolo[3,2-a]pyridin-5-one 515172-60-8P, 6-(4-Methanesulfonylbenzyl)-2-[3-(4-cyanophenyl)prop-1-ynyl]-4Hthiazolo[3,2-a]pyridin-5-one 515172-61-9P, 2-[3-(3-

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Cyanophenyl)prop-1-ynyl]-6-(4-carboxybenzyl)-4H-thiazolo[3,2-a]pyridin-5-
one 515172-62-0P, 6-(4-Methanesulfonylbenzyl)-2-[3-(3-
cyanophenyl)prop-1-ynyl]-4H-thiazolo[3,2-a]pyridin-5-one
515172-63-1P, 2-[3-(4-Fluorophenyl)prop-1-ynyl]-6-(4-
carboxybenzyl)-4H-thiazolo[3,2-a]pyridin-5-one 515172-64-2P,
6-(4-Methanesulfonylbenzyl)-2-[3-(4-fluorophenyl)prop-1-ynyl]-4H-
thiazolo[3,2-a]pyridin-5-one 515172-65-3P, 2-[3-(3-
Fluorophenyl)prop-1-ynyl]-6-(4-carboxybenzyl)-4H-thiazolo[3,2-a]pyridin-5-
one 515172-66-4P, 6-(4-Methanesulfonylbenzyl)-2-[3-(3-
fluorophenyl)prop-1-ynyl]-4H-thiazolo[3,2-a]pyridin-5-one
515172-67-5P, 2-[3-(4-Chlorophenyl)prop-1-ynyl]-6-(4-
carboxybenzyl)-4H-thiazolo[3,2-a]pyridin-5-one 515172-68-6P
6-(4-Methanesulfonylbenzyl)-2-[3-(4-chlorophenyl)prop-1-ynyl]-4H-
thiazolo[3,2-a]pyridin-5-one 515172-69-7P, 2-[3-(3-
Chlorophenyl) prop-1-ynyl]-6-(4-carboxybenzyl)-4H-thiazolo[3,2-a]pyridin-5-
one 515172-70-0P, 6-(4-Methanesulfonylbenzyl)-2-[3-(3-
chlorophenyl)prop-1-ynyl]-4H-thiazolo[3,2-a]pyridin-5-one
515172-71-1P, 2-[3-(4-Bromophenyl)prop-1-ynyl]-6-(4-carboxybenzyl)-
4H-thiazolo[3,2-a]pyridin-5-one 515172-72-2P,
6-(4-Methanesulfonylbenzyl)-2-[3-(4-bromophenyl)prop-1-ynyl]-4H-
thiazolo[3,2-a]pyridin-5-one 515172-73-3P, 2-[3-(3-
Bromophenyl)prop-1-ynyl]-6-(4-carboxybenzyl)-4H-thiazolo[3,2-a]pyridin-5-
one 515172-74-4P, 6-(4-Methanesulfonylbenzyl)-2-[3-(3-
bromophenyl)prop-1-ynyl]-4H-thiazolo[3,2-a]pyridin-5-one
515172-75-5P, 2-[3-(4-Methanesulfanylphenyl)prop-1-ynyl]-6-(4-
carboxybenzyl)-4H-thiazolo[3,2-a]pyridin-5-one 515172-76-6P,
6-(4-Methanesulfonylbenzyl)-2-[3-(4-methanesulfanylphenyl)prop-1-ynyl]-4H-
thiazolo[3,2-a]pyridin-5-one 515172-78-8P, 2-[3-(3-
Methanesulfanylphenyl)prop-1-ynyl]-6-(4-carboxybenzyl)-4H-thiazolo[3,2-
a]pyridin-5-one 515172-80-2P, 6-(4-Methanesulfonylbenzyl)-2-[3-
(3-methanesulfanylphenyl)prop-1-ynyl]-4H-thiazolo[3,2-a]pyridin-5-one
515172-82-4P, 2-[3-(4-Methylphenyl)prop-1-ynyl]-6-(4-
carboxybenzyl)-4H-thiazolo[3,2-a]pyridin-5-one 515172-83-5P,
6-(4-Methanesulfonylbenzyl)-2-[3-(4-methylphenyl)prop-1-ynyl]-4H-
thiazolo[3,2-a]pyridin-5-one 515172-85-7P, 2-[3-(3-
Methylphenyl)prop-1-ynyl]-6-(4-carboxybenzyl)-4H-thiazolo[3,2-a]pyridin-5-
one 515172-87-9P, 6-(4-Methanesulfonylbenzyl)-2-[3-(3-
methylphenyl)prop-1-ynyl]-4H-thiazolo[3,2-a]pyridin-5-one
515172-89-1P, 2-[3-(Pyridin-4-yl)prop-1-ynyl]-6-(4-carboxybenzyl)-
4H-thiazolo[3,2-a]pyridin-5-one 515172-91-5P,
6-(4-Methanesulfonylbenzyl)-2-[3-(2-methoxypyridin-4-yl)prop-1-ynyl]-4H-
thiazolo[3,2-a]pyridin-5-one 515174-25-1P, 2-[3-(2-
Methoxypyridin-4-yl)prop-1-ynyl]-6-(4-carboxybenzyl)-4H-thiazolo[3,2-a]pyridin-5-one 515174-26-2P, 6-(4-Methanesulfonylbenzyl)-2-[3-
(pyridin-3-yl)prop-1-ynyl]-4H-thiazolo[3,2-a]pyridin-5-one
515174-27-3P, 2-[3-(Pyridin-3-yl)prop-1-ynyl]-6-(4-carboxybenzyl)-
4H-thiazolo[3,2-a]pyridin-5-one 515174-28-4P,
6-(4-Methanesulfonylbenzyl)-2-[3-(pyridin-4-yl)prop-1-ynyl]-4H-
thiazolo[3,2-a]pyridin-5-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (MMP-13 inhibitor; preparation of alkyne MMP-13 inhibitors for treatment of
   cancer and arthritis)
515172-54-0 CAPLUS
5H-Thiazolo[3,2-a]pyridin-5-one, 6-(phenylmethyl)-2-(3-phenyl-1-propynyl)-
(9CI)
      (CA INDEX NAME)
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RN

CN

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RN 515172-55-1 CAPLUS
CN Benzoic acid, 4-[[2-[3-(4-methoxyphenyl)-1-propynyl]-5-oxo-5H-thiazolo[3,2-a]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)
```

$$CH_2$$
 CH_2 CH_2

515172-56-2 CAPLUS RN

5H-Thiazolo[3,2-a]pyridin-5-one, 2-[3-(4-methoxyphenyl)-1-propynyl]-6-[[4-CN (methylsulfonyl)phenyl]methyl] - (9CI) (CA INDEX NAME)

$$\begin{array}{c} 0 \\ \text{Me} - S \\ 0 \\ \end{array}$$

RN

515172-57-3 CAPLUS
Benzoic acid, 4-[[2-[3-(3-methoxyphenyl)-1-propynyl]-5-oxo-5H-thiazolo[3,2-CN a]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)

$$CH_2$$
 CH_2
 $C=C-CH_2$
 $C=C-CH_2$
 $C=C$

RN 515172-58-4 CAPLUS

5H-Thiazolo[3,2-a]pyridin-5-one, 2-[3-(3-methoxyphenyl)-1-propynyl]-6-[[4-(methylsulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c} O \\ Me - S \\ O \\ CH_2 \\ \hline \end{array}$$

RN 515172-59-5 CAPLUS

Benzoic acid, 4-[[2-[3-(4-cyanophenyl)-1-propynyl]-5-oxo-5H-thiazolo[3,2-a]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ \end{array} \begin{array}{c} & & \\ & \\ & \\ & \\ \end{array} \begin{array}{c} & \\ & \\ \end{array} \begin{array}{c} & \\ & \\ \end{array} \begin{array}{c} & \\ \end{array} \begin{array}{c} & \\ \end{array} \begin{array}{c} & \\ & \\ \end{array} \begin{array}{c} & \\$$

RN515172-60-8 CAPLUS

Benzonitrile, 4-[3-[6-[[4-(methylsulfonyl)phenyl]methyl]-5-oxo-5H-thiazolo[3,2-a]pyridin-2-yl]-2-propynyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
O & O & O \\
O & O & O$$

RN

515172-61-9 CAPLUS
Benzoic acid, 4-[[2-[3-(3-cyanophenyl)-1-propynyl]-5-oxo-5H-thiazolo[3,2-CN a]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)

$$CH_2$$
 $C=C-CH_2$ $C=C$

515172-62-0 CAPLUS RN

Benzonitrile, 3-[3-[6-[[4-(methylsulfonyl)phenyl]methyl]-5-oxo-5H-thiazolo[3,2-a]pyridin-2-yl]-2-propynyl]- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c|c} O & & & \\ \hline O & & & \\$$

RN515172-63-1 CAPLUS

Benzoic acid, 4-[[2-[3-(4-fluorophenyl)-1-propynyl]-5-oxo-5H-thiazolo[3,2-a]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)

$$CH_2$$
 CH_2 $C=CH_2$

515172-64-2 CAPLUS RNCN

5H-Thiazolo[3,2-a]pyridin-5-one, 2-[3-(4-fluorophenyl)-1-propynyl]-6-[[4-(methylsulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ \hline Me^{-S} & & & \\ \hline O & & & \\ \hline \end{array}$$

RN515172-65-3 CAPLUS

Benzoic acid, 4-[[2-[3-(3-fluorophenyl)-1-propynyl]-5-oxo-5H-thiazolo[3,2a]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)

$$CH_2$$
 CH_2 CH_2 CH_2 CH_2 CH_2

RN 515172-66-4 CAPLUS
CN 5H-Thiazolo[3,2-a]pyridin-5-one, 2-[3-(3-fluorophenyl)-1-propynyl]-6-[[4-(methylsulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ \hline O & O & CH_2 & O \\ \hline O & O & CH_2 & C \end{array}$$

RN 515172-67-5 CAPLUS
CN Benzoic acid, 4-[[2-[3-(4-chlorophenyl)-1-propynyl]-5-oxo-5H-thiazolo[3,2-a]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)

$$CH_2$$
 CH_2 $C=CH_2$

RN 515172-68-6 CAPLUS
CN 5H-Thiazolo[3,2-a]pyridin-5-one, 2-[3-(4-chlorophenyl)-1-propynyl]-6-[[4-(methylsulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ \hline O & & & \\ \hline O & & & \\ \hline \end{array}$$

RN 515172-69-7 CAPLUS
CN Benzoic acid, 4-[[2-[3-(3-chlorophenyl)-1-propynyl]-5-oxo-5H-thiazolo[3,2-a]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)

$$CH_2$$
 CH_2
 CH_2
 $C=C-CH_2$
 $C=C$

RN 515172-70-0 CAPLUS
CN 5H-Thiazolo[3,2-a]pyridin-5-one, 2-[3-(3-chlorophenyl)-1-propynyl]-6-[[4-(methylsulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} 0 \\ \text{Me-S} \\ 0 \\ \end{array}$$

RN

515172-71-1 CAPLUS
Benzoic acid, 4-[[2-[3-(4-bromophenyl)-1-propynyl]-5-oxo-5H-thiazolo[3,2-a]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME) CN

RN 515172-72-2 CAPLUS

5H-Thiazolo[3,2-a]pyridin-5-one, 2-[3-(4-bromophenyl)-1-propynyl]-6-[[4-(methylsulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME) CN

515172-73-3 CAPLUS RN

Benzoic acid, 4-[[2-[3-(3-bromophenyl)-1-propynyl]-5-oxo-5H-thiazolo[3,2-CN a]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)

$$cH_2$$
 $c=c-cH_2$ $c=c-cH_2$

515172-74-4 CAPLUS RN

5H-Thiazolo[3,2-a]pyridin-5-one, 2-[3-(3-bromophenyl)-1-propynyl]-6-[[4-(methylsulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN

515172-75-5 CAPLUS
Benzoic acid, 4-[[2-[3-[4-(methylthio)phenyl]-1-propynyl]-5-oxo-5Hthiazolo[3,2-a]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)

$$CH_2$$
 CH_2 CH_2 CH_2 CH_2 CH_2 CH_2

515172-76-6 CAPLUS RN

5H-Thiazolo[3,2-a]pyridin-5-one, 6-[[4-(methylsulfonyl)phenyl]methyl]-2-[3-CN [4-(methylthio)phenyl]-1-propynyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} 0 \\ \text{Me} \\ S \\ 0 \\ \end{array}$$

RN

515172-78-8 CAPLUS Benzoic acid, 4-[[2-[3-[3-(methylthio)phenyl]-1-propynyl]-5-oxo-5H-CN thiazolo[3,2-a]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)

$$CH_2$$
 CH_2 CH_2

RN 515172-80-2 CAPLUS

5H-Thiazolo[3,2-a]pyridin-5-one, 6-[[4-(methylsulfonyl)phenyl]methyl]-2-[3-(methylthio)phenyl]-1-propynyl]- (9CI) (CA INDEX NAME) CN

RN

515172-82-4 CAPLUS
Benzoic acid, 4-[[2-[3-(4-methylphenyl)-1-propynyl]-5-oxo-5H-thiazolo[3,2-CN a]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)

$$CH_2$$
 $C=CH_2$ $C=CH_2$

515172-83-5 CAPLUS RN

5H-Thiazolo[3,2-a]pyridin-5-one, 2-[3-(4-methylphenyl)-1-propynyl]-6-[[4-(methylsulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c} O \\ Me - S \\ O \\ CH_2 \\ \hline \end{array}$$

$$C = C - CH_2$$

$$Me$$

RN

515172-85-7 CAPLUS
Benzoic acid, 4-[[2-[3-(3-methylphenyl)-1-propynyl]-5-oxo-5H-thiazolo[3,2-CN a]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)

$$CH_2$$
 $C=C-CH_2$ $C=C-CH_2$

RN 515172-87-9 CAPLUS

5H-Thiazolo[3,2-a]pyridin-5-one, 2-[3-(3-methylphenyl)-1-propynyl]-6-[[4-(methylsulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c} 0 \\ \parallel \\ 0 \\ 0 \\ \end{array}$$

515172-89-1 CAPLUS RN

Benzoic acid, 4-[[5-oxo-2-[3-(4-pyridinyl)-1-propynyl]-5H-thiazolo[3,2-a]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME) CN

$$HO_2C$$
 CH_2
 CH_2

RN 515172-91-5 CAPLUS

5H-Thiazolo[3,2-a]pyridin-5-one, 2-[3-(2-methoxy-4-pyridinyl)-1-propynyl]-6-[[4-(methylsulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME) CN

RN

515174-25-1 CAPLUS Benzoic acid, 4-[[2-[3-(2-methoxy-4-pyridinyl)-1-propynyl]-5-oxo-5H-CNthiazolo[3,2-a]pyridin-6-yl]methyl] - (9CI) (CA INDEX NAME)

$$CH_2$$
 CH_2 $C=C-CH_2$ $C=C-CH_2$ $C=C$

RN515174-26-2 CAPLUS

5H-Thiazolo[3,2-a]pyridin-5-one, 6-[[4-(methylsulfonyl)phenyl]methyl]-2-[3-CN (3-pyridinyl) -1-propynyl] - (9CI) (CA INDEX NAME)

$$CH_2 \longrightarrow C$$

$$C = C - CH_2$$

$$C = C - CH_2$$

RN

515174-27-3 CAPLUS
Benzoic acid, 4-[[5-oxo-2-[3-(3-pyridinyl)-1-propynyl]-5H-thiazolo[3,2-CN a]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)

$$CH_2$$
 CH_2
 CH_2

515174-28-4 CAPLUS RN

5H-Thiazolo[3,2-a]pyridin-5-one, 6-[[4-(methylsulfonyl)phenyl]methyl]-2-[3-(4-pyridinyl)-1-propynyl]- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c|c} O & & & \\ \hline O & & & \\ \hline O & & & \\ \hline \end{array}$$

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 11 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1993:213095 CAPLUS

DN 118:213095

Preparation of pyrrolo[2,1-b]thiazole, thiazolo[3,2-a]pyridine, and ΤĬ thiazolo[2,3-c][1,4]thiazine derivatives for prevention and treatment of liver diseases

Suzuki, Norio; Nakayama, Atsushi; Hasegawa, Masashi; Yokohama, Shuichi; Saijo, Toru IN

Daiichi Seiyaku Co., Ltd., Japan PA

so Jpn. Kokai Tokkyo Koho, 17 pp. CODEN: JKXXAF

DT Patent

Japanese LA

FAN.CNT 1					
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡĪ	JP 04261186	A2	19920917	JP 1991-20969	19910214
	JP 3410479	B2	20030526		
PRAI	JP 1991-20969		19910214		
os	MARPAT 118:21309	5			

GΙ

AB The title compds. [I; R1, R2-R6 = H, substituent; when the bond between 5and 6-position is double bond, one of R3 and R4 and one of R5 and R6 are
absent; or one of R3 and R4 is bonded to one of R5 and R6 to form C3-5
alkylene optionally having ≥1 substituent(s); or CR3R4 = CO, CS; Z
= single bond, CH2, CH2CH2, O, S, SO, SO2, NR9; R9 = C1-10 alkylene] are
prepared Thus, 4.85 g DBU was added to a stirred solution of 4.97 g iso-Pr
2-thioxopyrrolidine-3-carboxylate and 2.80 g 2-chloroacrylonitrile (II) in
CH2Cl2, after 15 min. addnl. 0.56 II and 0.95 g DBU were added, and the
mixture was stirred for 10 min to give 2.67 g iso-Pr 2-cyano-2,3,5,6tetrahydropyrrolo[2,1-b]thiazole-7-carboxylate. Iso-Pr
2-(N-methylcarbamoyl)-2,3,5,6-tetrahydropyrrolo[2,1-b]thiazole-7carboxylate at 200 mg/kg p.o. in D-galactosamine-treated rats reduced the
serum GPT level from 1921±423 U/L to 589±168 U/L, vs. 32±2 U/L
for normal group. A total of 45 I were prepared

IT 146741-32-4P 146741-33-5P 146741-34-6P

IT 146741-32-4P 146741-33-5P 146741-34-6P 146741-39-1P 146741-41-5P 146741-53-9P 146741-54-0P 146741-62-0P 146741-63-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, for treatment of liver disease)

RN 146741-32-4 CAPLUS

RN 146741-33-5 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 2-cyano-2,3,6,7-tetrahydro-,
1-methylethyl ester (9CI) (CA INDEX NAME)

N 146741-34-6 CAPLUS

CN 5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 2-cyano-2,3,6,7-tetrahydro-6,6-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

146741-39-1 CAPLUS RN

5H-Thiazolo[3,2-a]pyridine-2,8-dicarboxylic acid, 2,3,6,7-tetrahydro-, 2-ethyl 8-(1-methylethyl) ester (9CI) (CA INDEX NAME) CN

146741-41-5 CAPLUS 5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 2-(aminocarbonyl)-2,3,6,7-CN tetrahydro-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 146741-53-9 CAPLUS

5H-Thiazolo[3,2-a]pyridine-2,8-dicarboxylic acid, 2,3,6,7-tetrahydro-, diethyl ester (9CI) (CA INDEX NAME) CN

146741-54-0 CAPLUS RN

5H-Thiazolo[3,2-a]pyridine-2,8-dicarboxylic acid, 2,3,6,7-tetrahydro-6,6dimethyl-, diethyl ester (9CI) (CA INDEX NAME)

5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 2,3,6,7-tetrahydro-2-CN [(methylamino)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN146741-63-1 CAPLUS 5H-Thiazolo[3,2-a]pyridine-8-carboxylic acid, 2,3,6,7-tetrahydro-2-CN[(methylamino)carbonyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

=> s 112 not 118 4 L12 NOT L18

=> d 1-4 bib abs hitstr

ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN L20

2003:342561 CAPLUS ΑN

DN 139:214379

Oxidative cyclization of N-methyl- and N-benzoylpyridylthioureas. TI Preparation of new thiazolo[4,5-b] - and -[5,4-b]pyridine derivatives

ΑU Jouve, Karine; Bergman, Jan

Unit for Organic Chemistry, Department of Biosciences, Karolinska CS Institute and Sodertorn University College, Huddinge, SE-14157, Swed.

Journal of Heterocyclic Chemistry (2003), 40(2), 261-268 SO

CODEN: JHTCAD; ISSN: 0022-152X

PΒ HeteroCorporation DT Journal

English LA

CASREACT 139:214379 OS

Cyclization of N-methyl- and N-benzoylpyridylthioureas, prepared from the corresponding aminopyridines, has been realized using various conditions. With bromine in acetic acid or potassium ferricyanide, the cyclization occurred on the nitrogen of the pyridine ring and pyridinium salts or 1,2,4-thiadiazolo[2,3-a]pyridylidene systems were obtained. On the other hand, treatment of the thioureas with sodium methoxide in N-methylpyrrolidinone (NMP) led to formation of thiazolo[4,5-b]- and - $[5,4-\bar{b}]$ pyridines, which are interesting targets for biol. evaluation. IT

588730-04-5P 588730-05-6P 588730-06-7P

588730-07-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of thiazolopyridine derivs. by oxidative cyclization of N-methyl- and N-benzoylpyridylthioureas)

588730-04-5 CAPLUS RN

[1,2,4] Thiadiazolo[2,3-a]pyridin-4-ium, 6-bromo-2-(methylamino)-, bromide CN (9CI) (CA INDEX NAME)

Br

RN 588730-05-6 CAPLUS

[1,2,4] Thiadiazolo[2,3-a] pyridin-4-ium, 2-(methylamino)-6-phenyl-, bromide CN (9CI) (CA INDEX NAME)

• Br

588730-06-7 CAPLUS

[1,2,4] Thiadiazolo [2,3-a] pyridin-4-ium, 2-(benzoylamino)-6-bromo-, inner CNsalt (9CI) (CA INDEX NAME)

RN588730-07-8 CAPLUS

[1,2,4] Thiadiazolo [2,3-a] pyridin-4-ium, 2-(benzoylamino)-6-phenyl-, inner CNsalt (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Ph & & O \\ & \downarrow & \\ N & & \downarrow \\ & & N \\ \hline \end{array} \quad \begin{array}{c} O \\ \parallel \\ C - Ph \\ \end{array}$$

THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 26 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN L20

- 1999:661861 CAPLUS AN
- DN
- ΤI Intramolecular oxidative cyclizations in heteroarylthioureas: a versatile pathway to bridgehead heterocyclic systems
- ΑU Castro, Ana; Martinez, Ana
- Instituto de Quimica Medica (CSIC), Madrid, 28006, Spain CS
- so Journal of Heterocyclic Chemistry (1999), 36(4), 991-995

CODEN: JHTCAD; ISSN: 0022-152X

- HeteroCorporation PB
- DT Journal
- LA English
- Intramol. oxidns. of N-alkyl-N'-heteroarylthioureas represent a facile and versatile synthetic pathway to fused heterocyclic systems including bridgehead ones. These kinds of heterocycles are the main feature in common biol. active compds.
- IT 252270-12-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

RNCN (intramol. oxidative cyclization in heteroarylthioureas as versatile
 pathway to bridgehead heterocyclic systems)
252270-12-5 CAPLUS
[1,2,4]Thiadiazolo[2,3-a]pyridin-4-ium, 2-(dimethylamino)-, chloride (9CI)
 (CA INDEX NAME)

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 15 ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
L20
     1997:537875 CAPLUS
AN
     127:242986
DN
     Arylimino-1,2,4-thiadiazolidones: a new family of potassium channel
ΤI
     Martinez, Ana; Castro, Ana; Cardelus, Ignacio; Llenas, Jesus; Palacios,
ΑU
     Jose M.
     Inst. Quim. Med., Madrid, 28006, Spain
CS
     Bioorganic & Medicinal Chemistry (1997), 5(7), 1275-1283
SO
     CODEN: BMECEP; ISSN: 0968-0896
PΒ
     Elsevier
DT
     Journal
     English
T.A
     A series of arylimino-1,2,4-thiadiazolidones were prepared using an
AB
     efficient synthesis starting from thiadiazolo-pyridinium chlorides. All
     the compds. showed smooth muscular relaxant properties in rat portal
     veins. The different behavior under highly depolarized conditions and the
     reduction of the biol. effect by glyburide suggests that the arylamini-1,2,4-thiadiazolidin-3-ones may act, at least in part, via
     K+-induced hyperpolarization of vascular smooth cells.
     188830-69-5 188830-71-9, 2-Benzylamino-1,2,4-
     thiadiazolo[2,3-a]pyridinium chloride
     RL: RCT (Reactant); RACT (Reactant or reagent)
         (preparation and characterization of vasorelaxant arylimino-1,2,4-
        thiadiazolidones)
RN
     188830-69-5 CAPLUS
     [1,2,4]Thiadiazolo[2,3-a]pyridin-4-ium, 2-(methylamino)-, chloride (9CI)
CN
     (CA INDEX NAME)
```

● C1 -

RN 188830-71-9 CAPLUS CN [1,2,4]Thiadiazolo[2,3-a]pyridin-4-ium, 2-[(phenylmethyl)amino]-, chloride (9CI) (CA INDEX NAME)

● c1-

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
L20
     1997:169800 CAPLUS
ΑN
DN
     126:264050
     Base promoted transformation on thiadiazolopyridinium chlorides
TI
ΑU
     Martinez, Ana; Castro, Ana; Fayet, J. P.
     Instituto de Quimica Medica, CSIC, Madrid, 28006, Spain
CS
     Journal of Heterocyclic Chemistry (1997), 34(1), 337-340
SO
     CODEN: JHTCAD; ISSN: 0022-152X
PB
     HeteroCorporation
     Journal
DT
     English
LΑ
     1,2,4-Thiadiazolo[2,3-a]pyridinium chlorides undergo a very facile base
AB
```

AB 1,2,4-Thiadiazolo[2,3-a]pyridinium chlorides undergo a very facile base promoted transformation to give bispyridylimino-1,2,4-thiadiazolidines. The unequivocal structural assignment of these last compds. was achieved by spectroscopic 1H, 13C and 15N two dimensional methods.

IT 188830-69-5 188830-70-8 188830-71-9

RN

CN

RL: RCT (Reactant); RACT (Reactant or reagent)
(conversion of thiadiazolopyridinium chlorides to
bis(pyridylimino)thiadiazolidines)

18830-69-5 CAPLUS

13.2 4 Thiadiazolo 2 3-alpyridin-4-ium 2- (methylamino) - ch

[1,2,4]Thiadiazolo[2,3-a]pyridin-4-ium, 2-(methylamino)-, chloride (9CI) (CA INDEX NAME)

• c1 ~

RN 188830-70-8 CAPLUS CN [1,2,4]Thiadiazolo[2,3-a]pyridin-4-ium, 2-(ethylamino)-, chloride (9CI) (CA INDEX NAME)

● C1 -

RN 188830-71-9 CAPLUS CN [1,2,4]Thiadiazolo[2,3-a]pyridin-4-ium, 2-[(phenylmethyl)amino]-, chloride (9CI) (CA INDEX NAME)

● c1-

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT